10/601,070

STN - Structure Search

8/29/06

=> d ibib abs hitstr 1-9

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:425887 CAPLUS
DOCUMENT NUMBER: 144:445377
TITLE: Methods for treating neurodegenerative disorders using aspartyl protease inhibitors
INVENTOR(S): Kuntz, Irwin D.; Bi, Xiaoning; Lee, Christina E.; Skillman, A. Geoffrey; Haque, Tasir; Ellman, Jonathan A.; Lynch, Gary
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: Aust. Pat. Appl., 106 pp.
CODEN: AUXXCM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

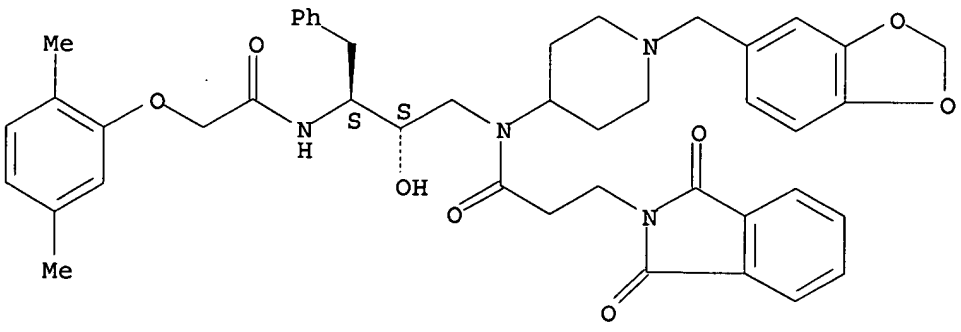
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| AU 2005201481 | A1 | 20050505 | AU 2005-201481 | 20050407 |
| PRIORITY APPLN. INFO.: | | | AU 2000-37717 A3 | 20000324 |

AB The invention discloses non-peptide aspartyl protease inhibitors (preparation included), methods for modulating the processing of amyloid precursor protein, methods for modulating the processing of tau protein, and methods for treating neurodegenerative diseases.

IT **296780-77-3 296780-78-4 296780-79-5**
**296780-80-8 296780-84-2 296780-85-3**
**296780-87-5 296780-88-6 296780-90-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aspartyl protease inhibitors for treatment of neurodegenerative disorders)

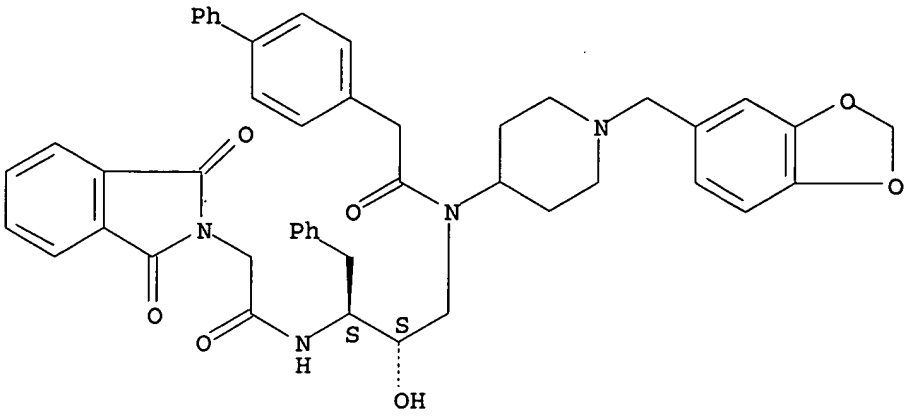
RN 296780-77-3 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[(2,5-dimethylphenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



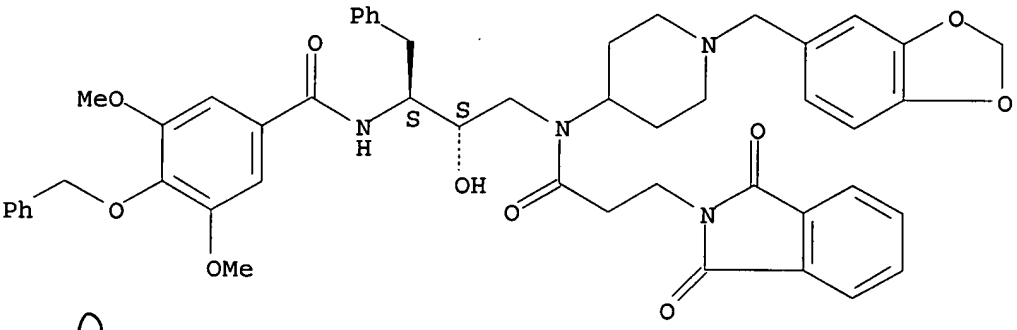

RN 296780-78-4 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[(2E)-3-(2,6-dichlorophenyl)-1-oxo-2-propenyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 296780-90-0 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[3,5-dimethoxy-4-(phenylmethoxy)benzoyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

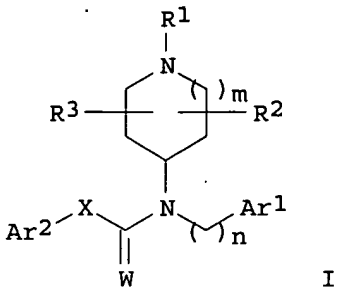


Inventors

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:451629 CAPLUS
DOCUMENT NUMBER: 141:23543
TITLE: Preparation of N-substituted piperidine derivatives as serotonin receptor agents
INVENTOR(S): Andersson, Carl-Magnus; Schlienger, Nathalie; Fejzic, Alma; Hansen, Eva Louise; Pawlas, Jan
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., Swed.
SOURCE: U.S. Pat. Appl. Publ., 44 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 2004106600 | A1 | 20040603 | US 2003-601070 | | 20030620 |
| US 2006094758 | A1 | 20060504 | US 2005-299566 | | 20051212 |
| PRIORITY APPLN. INFO.: | | | DK 2002-973 | A | 20020624 |
| | | | US 2002-391269P | P | 20020624 |
| | | | US 2003-601070 | A1 | 20030620 |

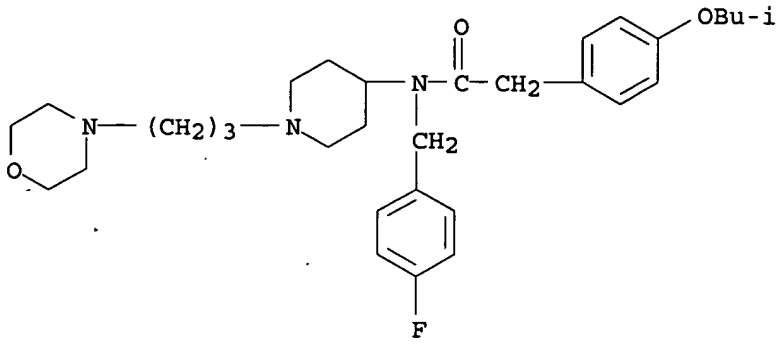
OTHER SOURCE(S): MARPAT 141:23543
GI



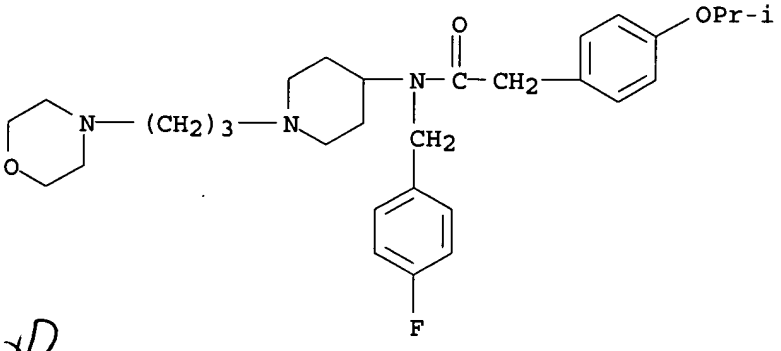
I

AB Disclosed herein are compds. of formula (I), pharmaceutically acceptable salts, amides, esters, or prodrugs thereof [whewrein R1 = each (un)substituted heterocyclyl or heterocyclyl-C1-6 alkyl; R2, R3 = H, C1-6 alkyl, or halogen or such that R2 together with R3 forms a ring; m = 0, 1, 2; n = 1, 2, 3; Ar1 = each (un)substituted aryl or heteroaryl; W = O, S; X = each (un)substituted methylene, ethylene, propylene, or vinylene, CH2NR (wherein R = H, C1-6 alkyl); Ar2 = each (un)substituted aryl or heteroaryl]. Also disclosed are. (1) methods of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or more of the compds. of formula I, (2) methods of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or more of the compds. of formula I, and (3) methods of treating a disease condition associated with a monoamine receptor, in particular serotonin receptor 5-HT2A subclass. The disease condition is selected from (a) the group consisting of schizophrenia, schizoaffective disorders, psychosis, drug induced psychosis, and side effects observed with the treatment of chronic neurodegenerative disorders with a selective serotonin reuptake inhibitor (SSRI), wherein said neurodegenerative disorder is selected from Alzheimer's disease, Parkinson's disease, Lewy body dementia, frontotemporal dementia, spinocerebellar atrophy, and Huntington's disease; and (b) the group consisting of Reynaud's Phenomena, migraine, hypertension, thrombosis, vasospasm, ischemia, depression, anxiety, motor tics, Tourette's syndrome, dyskinesias, on/off phenomena, tremor, rigidity, bradykinesia, psychomotor slowing, addiction, including alc. addiction, opioid addiction, and nicotine addiction, sleep disorders, appetite disorders, and decreases in libido and ejaculatory problems. Thus, N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-[3-(4-(S)-isopropyl-2-oxooxazolidin-3-yl)propyl]piperidin-4-yl]acetamide oxalate, which was prepared by alkylation of N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-piperidin-4-ylacetamide with (4S)-3-(3-chloropropyl)-4-isopropyloxazolidin-2-one, inhibited 5-HT2A receptor by 104% in a receptor selection and amplification (R-SAT) assay using NIH3T3 cells.

IT **639861-75-9P**, N-[1-[2-(1,3-Dioxan-2-yl)ethyl]piperidin-4-yl]-N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)acetamide **639862-90-1P**, N-[1-[2-(1,3-Dioxan-2-yl)ethyl]piperidin-4-yl]-N-(4-fluorobenzyl)-2-(4-isopropylphenyl)acetamide **639862-92-3P**, N-[1-[2-(1,3-Dioxan-2-yl)ethyl]piperidin-4-yl]-N-(4-fluorobenzyl)-2-(4-trifluoromethoxyphenyl)acetamide **639863-26-6P**, N-(4-Fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-[3-(2-oxopiperidin-1-yl)propyl]piperidin-4-yl]acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of N-substituted piperidine derivs. as serotonin



RN 698398-05-9 CAPLUS
CN Benzeneacetamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethoxy)-N-[1-[3-(4-morpholinyl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



Inventors

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:2854 CAPLUS
DOCUMENT NUMBER: 140:77030
TITLE: Preparation of 1,4-disubstituted piperidines as serotonin 5-HT2A inverse agonists.
INVENTOR(S): Andersson, Carl-Magnus; Schlienger, Nathalie; Fejzic, Alma; Hansen, Eva Louise; Pawlas, Jan
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

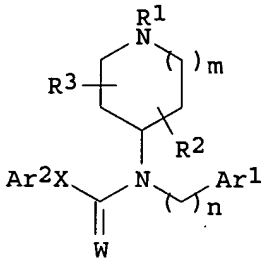
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000808 | A2 | 20031231 | WO 2003-US19797 | 20030620 |
| WO 2004000808 | A3 | 20040325 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

| | | | | | | |
|---|---|---|---|---|---|---|
| BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | | |
| CA 2490397 | | AA | 20031231 | CA 2003-2490397 | | 20030620 |
| AU 2003247615 | | A1 | 20040106 | AU 2003-247615 | | 20030620 |
| BR 2003012217 | | A | 20050510 | BR 2003-12217 | | 20030620 |
| EP 1562937 | | A2 | 20050817 | EP 2003-761275 | | 20030620 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | | |
| CN 1675201 | | A | 20050928 | CN 2003-818839 | | 20030620 |
| JP 2005533813 | | T2 | 20051110 | JP 2004-516166 | | 20030620 |
| ZA 2004010408 | | A | 20050922 | ZA 2004-10408 | | 20041223 |
| PRIORITY APPLN. INFO.: | | | | US 2002-391269P | P | 20020624 |
| | | | | WO 2003-US19797 | W | 20030620 |

OTHER SOURCE(S): MARPAT 140:77030

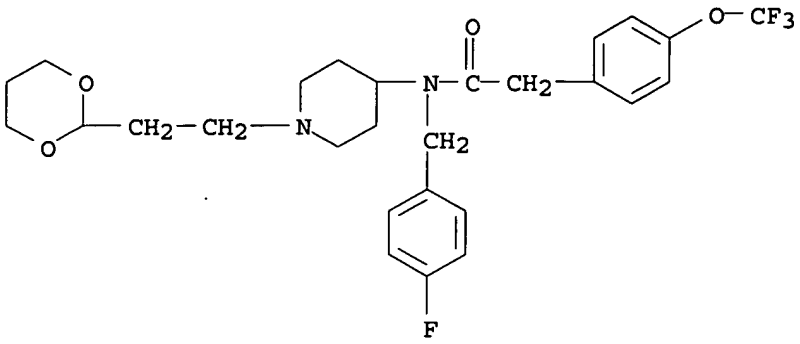
GI



I

AB Title compds. [I; R1 = (substituted) heterocyclyl, heterocyclylalkyl; R2, R3 = H, alkyl, halo; R2R3 = atoms to form a ring; m = 0-2; n = 1-3; Ar1 = (substituted) aryl, heteroaryl; W = O, S; X = (substituted) methylene, ethylene, propylene, vinylene, CH2N(Rn); Rn = H, alkyl; Ar2 = (substituted) aryl, heteroaryl], were prepared Thus, a mixture of N-(4-fluorobenyzl)-N-(piperidin-4-yl)-2-(4-isobutoxyphenyl)acetamide, K2CO3, NaI, and (4S)-3-(3-chloropropyl)-4-isopropyloxazolidinon-2-one were stirred overnight to give 71% N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-[3-(4-(S)-isopropyl-2-oxooxazolidin-3-yl)propyl]piperidin-4-yl]acetamide oxalate (117NLS01). The latter showed pIC50 = 9.7 for repression of 5-HT2A receptor activity.

IT **639861-39-5P 639861-40-8P 639861-42-0P**
**639861-43-1P 639861-44-2P 639861-45-3P**
**639861-46-4P 639861-47-5P 639861-50-0P**
**639861-53-3P 639861-56-6P 639861-59-9P**
**639861-62-4P 639861-63-5P 639861-64-6P**
**639861-67-9P 639861-70-4P 639861-73-7P**
**639861-76-0P 639861-79-3P 639861-82-8P**
**639861-85-1P 639861-91-9P 639861-95-3P**
**639861-97-5P 639861-99-7P 639862-04-7P**
**639862-05-8P 639862-08-1P 639862-11-6P**
**639862-13-8P 639862-15-0P 639862-16-1P**
**639862-17-2P 639862-18-3P 639862-19-4P**
**639862-20-7P 639862-21-8P 639862-22-9P**
**639862-29-6P 639862-30-9P 639862-31-0P**
**639862-33-2P 639862-39-8P 639862-40-1P**
**639862-41-2P 639862-42-3P 639862-56-9P**
**639862-57-0P 639862-58-1P 639862-60-5P**
**639862-61-6P 639862-62-7P 639862-63-8P**
**639862-64-9P 639862-65-0P 639862-66-1P**
**639862-67-2P 639862-68-3P 639862-71-8P**
**639862-73-0P 639862-75-2P 639862-80-9P**
**639862-81-0P 639862-83-2P 639862-84-3P**



L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:836853 CAPLUS
DOCUMENT NUMBER: 139:337978
TITLE: Preparation of N-substituted pyridinone and pyrimidinone derivatives for use as Lp-PLA2 inhibitors in the treatment of atherosclerosis
INVENTOR(S): Leach, Colin Andrew; Smith, Stephen Allan
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

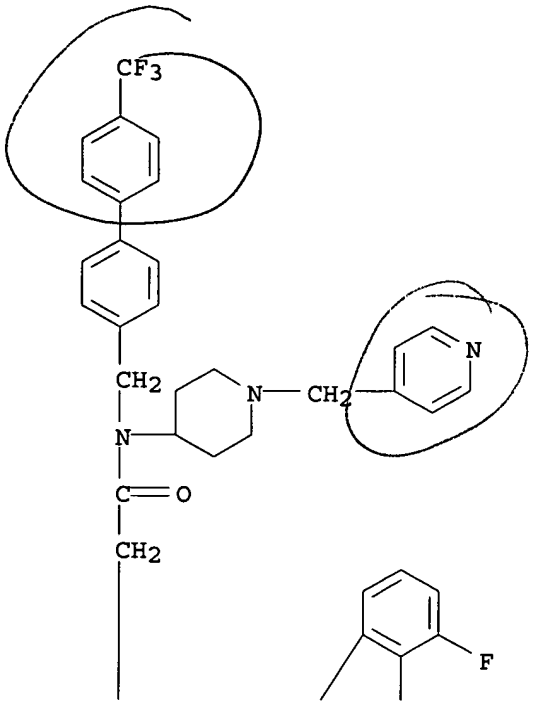
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2003086400 | A1 | 20031023 | WO 2003-GB1544 | | 20030410 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| AU 2003217074 | A1 | 20031027 | AU 2003-217074 | | 20030410 |
| EP 1492533 | A1 | 20050105 | EP 2003-712462 | | 20030410 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| JP 2005533757 | T2 | 20051110 | JP 2003-583419 | | 20030410 |
| US 2005245552 | A1 | 20051103 | US 2005-510467 | | 20050525 |
| PRIORITY APPLN. INFO.: | | | GB 2002-8279 | A | 20020410 |
| | | | WO 2003-GB1544 | W | 20030410 |

OTHER SOURCE(S): MARPAT 139:337978
GI

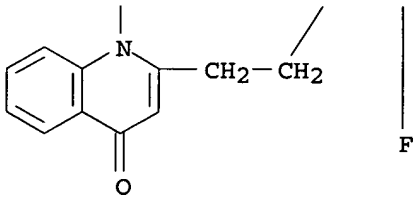
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = (un)substituted aryl; R2 = halo, alkyl, alkoxy, etc.; R3 = H, halo, alkyl, hydroxyalkyl; R2 and R3 together with the pyridone or pyrimidone ring carbons to which they are attached form

PAGE 1-A




PAGE 2-A




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:551495 CAPLUS
DOCUMENT NUMBER: 139:101034
TITLE: Preparation of biaryl compounds as melanocortin agonists or antagonists
INVENTOR(S): Cho, Nobuo; Aso, Kazuyoshi; Endo, Satoshi; Kanzaki, Naoyuki; Sasaki, Satoshi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

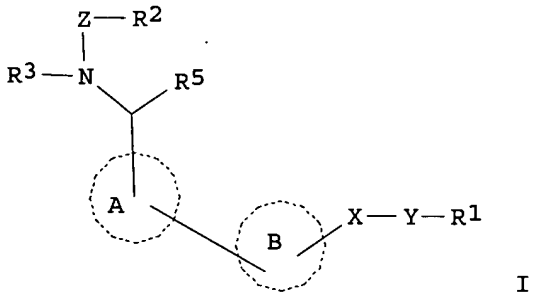
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003057671 | A1 | 20030717 | WO 2002-JP13655 | 20021226 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| AU 2002367427 | A1 | 20030724 | AU 2002-367427 | | 20021226 |
| JP 2003252857 | A2 | 20030910 | JP 2002-377946 | | 20021226 |
| EP 1466904 | A1 | 20041013 | EP 2002-790892 | | 20021226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | | |
| US 2005096359 | A1 | 20050505 | US 2003-499903 | | 20021226 |
| PRIORITY APPLN. INFO.: | | | JP 2001-401303 | A | 20011228 |
| | | | WO 2002-JP13655 | W | 20021226 |

OTHER SOURCE(S): MARPAT 139:101034
GI




I

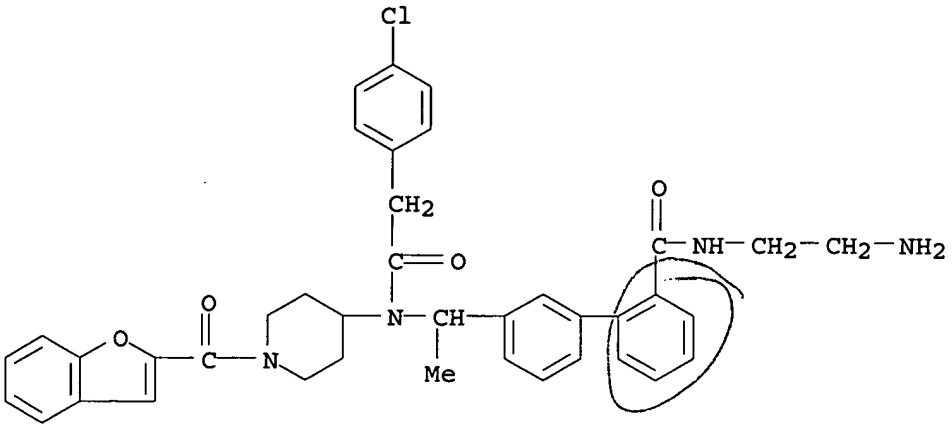
AB The title compds. I [ring A and ring B each represents an optionally further substituted six-membered aromatic ring; X represents CONR4, SO2NR4, CH2NR4 (R4 represents hydrogen, an optionally substituted hydrocarbon group, etc.), etc.; Y represents a spacer consisting of 1 to 12 atoms; Z represents CONR6, CO (R6 represents hydrogen, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), etc.; R1 represents optionally substituted amino, etc.; R2 represents an optionally substituted hydrocarbon group, etc.; R3 represents an optionally substituted hydrocarbon group, etc.; and R5 represents an optionally substituted hydrocarbon group, etc.] are prepared In a test for inhibition of binding to the MC4R receptors, compds. of this invention at 10 μM showed 92% to 100% binding inhibition. Formulations are given.

IT **561030-33-9P 561030-49-7P 561030-51-1P**
**561030-58-8P 561030-59-9P 561030-62-4P**
**561030-88-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biaryl compds. as melanocortin agonists or antagonists)

RN 561030-33-9 CAPLUS

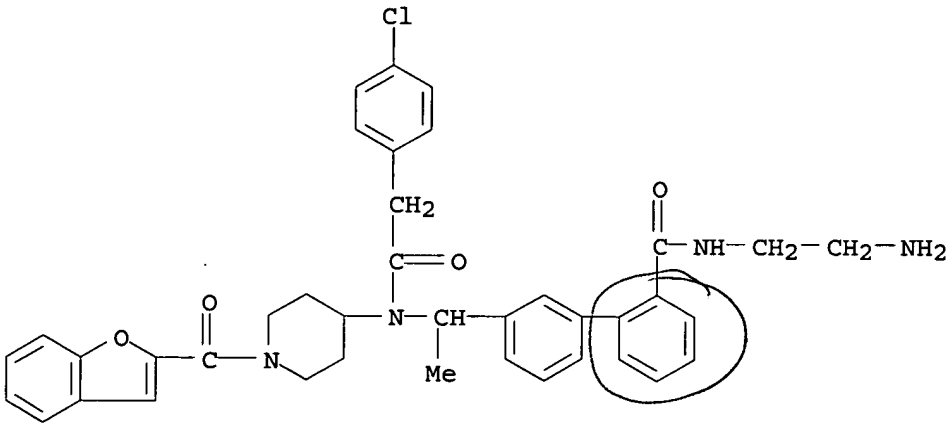
CN 2-Naphthaleneacetamide, N-[1-[2'-[[(2-aminoethyl)amino]carbonyl][1,1'-biphenyl]-3-yl]ethyl]-N-[1-(2-pyridinylcarbonyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

benzofuranylcarbonyl)-4-piperidinyl][(4-chlorophenyl)acetyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 561030-88-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(2-aminoethyl)-3'-[1-[[1-(2-benzofuranylcarbonyl)-4-piperidinyl][(4-chlorophenyl)acetyl]amino]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

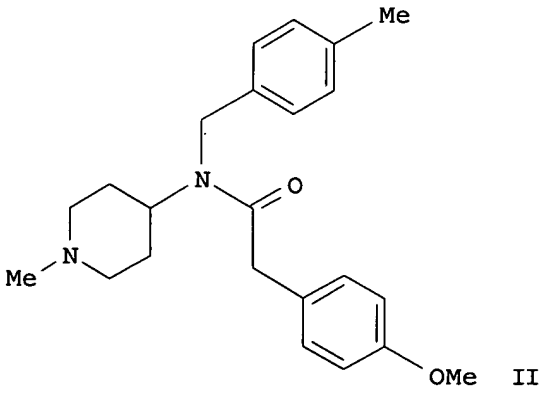
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:676749 CAPLUS
DOCUMENT NUMBER: 135:242140
TITLE: Preparation of N-piperidinyl-N-alkyl-acetamides and N,N,N'-substituted ureas as 5-HT2A inverse agonists/antagonists
INVENTOR(S): Andersson, Carl M.; Croston, Glenn; Hansen, E. L.; Uldam, A. K.
PATENT ASSIGNEE(S): Acadia Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2

10/601,070

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001066521 | A1 | 20010913 | WO 2001-US7187 | 20010306 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2397981 | AA | 20010913 | CA 2001-2397981 | 20010306 |
| US 2002004513 | A1 | 20020110 | US 2001-800096 | 20010306 |
| US 6815458 | B2 | 20041109 | | |
| EP 1263729 | A1 | 20021211 | EP 2001-914716 | 20010306 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003531829 | T2 | 20031028 | JP 2001-565339 | 20010306 |
| BR 2001008977 | A | 20040106 | BR 2001-8977 | 20010306 |
| AU 780006 | B2 | 20050224 | AU 2001-40072 | 20010306 |
| NZ 520240 | A | 20050429 | NZ 2001-520240 | 20010306 |
| ZA 2002005902 | A | 20031023 | ZA 2002-5902 | 20020723 |
| US 2003220316 | A1 | 20031127 | US 2003-409782 | 20030407 |
| US 6756393 | B2 | 20040629 | | |
| US 2005014757 | A1 | 20050120 | US 2004-802970 | 20040316 |
| AU 2005202257 | A1 | 20050616 | AU 2005-202257 | 20050524 |
| PRIORITY APPLN. INFO.: | | | US 2000-187289P | P 20000306 |
| | | | US 2001-800096 | A1 20010306 |
| | | | WO 2001-US7187 | W 20010306 |
| | | | US 2003-409782 | A1 20030407 |

OTHER SOURCE(S): MARPAT 135:242140
GI




II

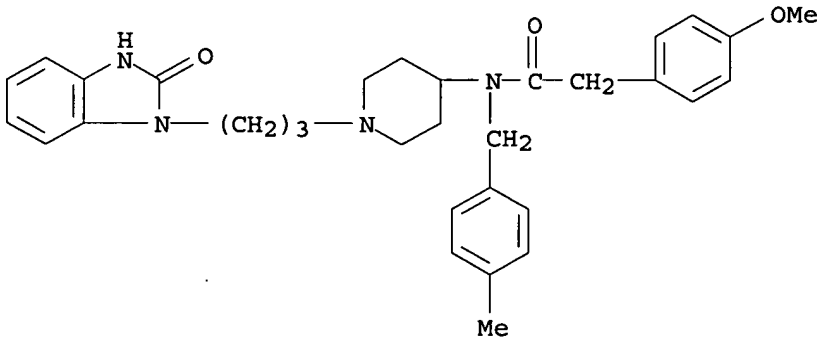
AB Title compds. Ar1-Y2-Y1-N(Z)-C:W-X1-X2-Ar2 [Z = NR-substituted piperidinyl, tropanyl,azetidinyl, etc.; R = H, cyclic/straight-chain acyclic organyl group, hydroxyalkyl, aminoalkyl, aralkyl or heteroaralkyl group; X1 = CH2, vinylene, NH or N-alkyl; X2 = CH2, or, when X1 = CH2 or vinylene, X2 = CH2 or a bond; or when X1 is CH2, X2 = 0, S, NH, N(lower alkyl) or a bond; Y1 = CH2 and Y2 = CH2, vinylene, ethylene, propylene, bond; or Y1 = bond and Y2 = vinylene; or Y1 = ethylene and Y2 = 0, S, NH,

N(lower alkyl); Ar1 and Ar2 = (un)substituted (hetero)aryl provided that Ar1 and Ar2 are not simultaneously phenyl; W = O, S; I] were prepared Examples include over 130 compds. synthesized, 5 serotonin receptor binding assays and 3 in-vivo models. For instance, 4-methylbenzylamine was reductively alkylated with 1-methyl-4-piperidone (MeOH, HOAc, NaCNBH3, 20 h., room temperature). The resulting amine was alkylated with 4-methoxyphenylacetyl chloride (DCM, 4 h., room temperature) to give II, isolated as the hydrochloride salt and subsequently purified by chromatog. Many of the examples had pIC50 (-log IC50) = 7.8 - 9.0 for HT2A. I are used for the treatment of disease in which modification of serotonergic receptor activity has a beneficial effect.

IT **359878-98-1P 359879-00-8P 359879-02-0P**
**359881-34-8P 359881-39-3P 359881-41-7P**
**359881-43-9P 359881-45-1P 359881-47-3P**
**359881-49-5P 359881-51-9P 359881-53-1P**
**359881-55-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of N-piperidinyl-N-alkyl-aryl-acetamides and N,N,N'-substituted ureas as 5-HT2A inverse agonists)

RN 359878-98-1 CAPLUS

CN Benzeneacetamide, N-[1-[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)




RN 359879-00-8 CAPLUS

CN Benzeneacetamide, N-[1-[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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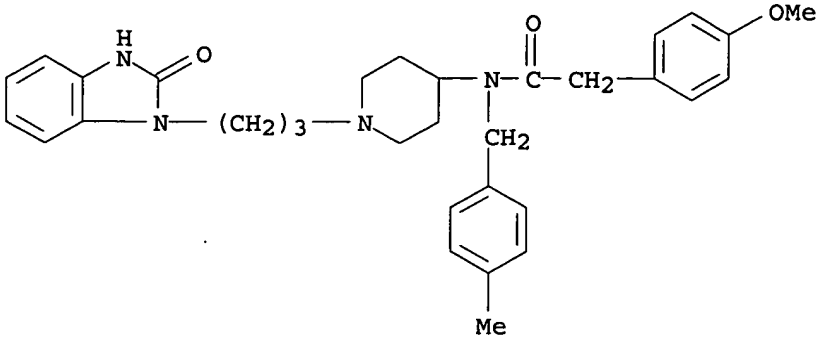
CRN 359878-98-1
CMF C32 H38 N4 O3



CM 2

CRN 76-05-1
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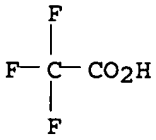
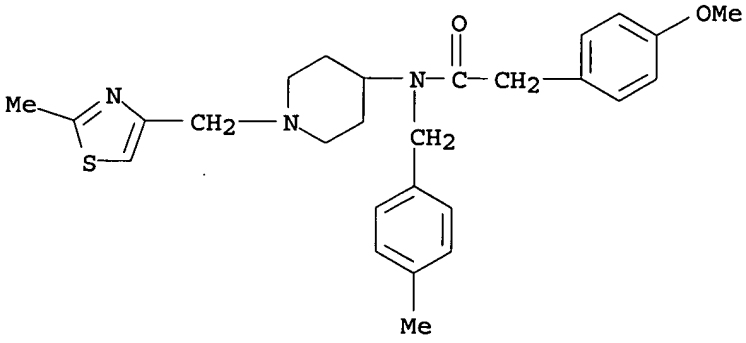


RN 359879-02-0 CAPLUS
CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[(2-methyl-4-thiazolyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 359881-34-8 CAPLUS
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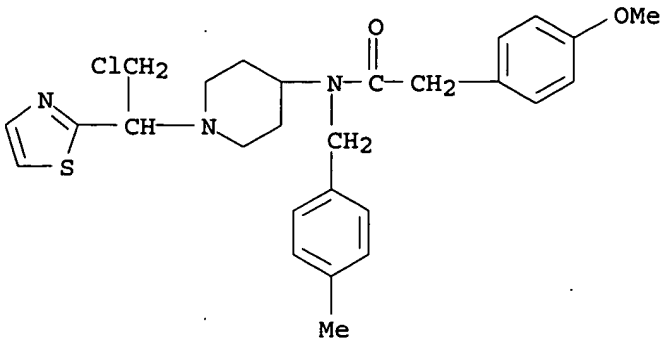

RN 359881-39-3 CAPLUS
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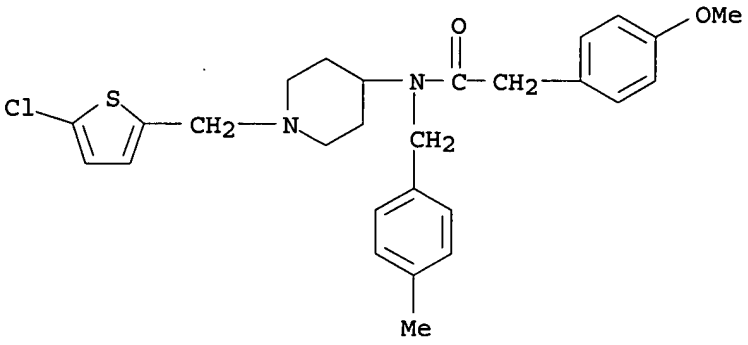
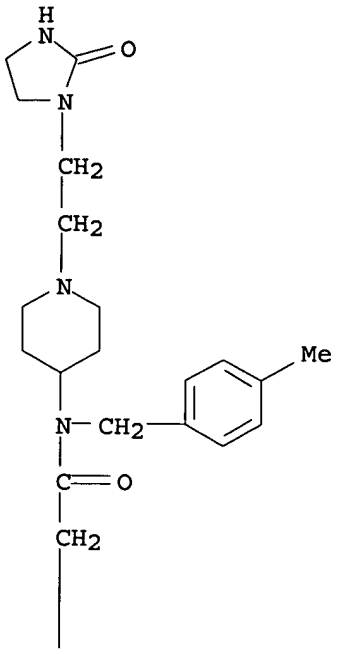


RN 359881-41-7 CAPLUS
CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[2-(2-oxo-1-imidazolidinyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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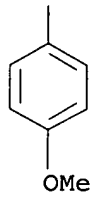
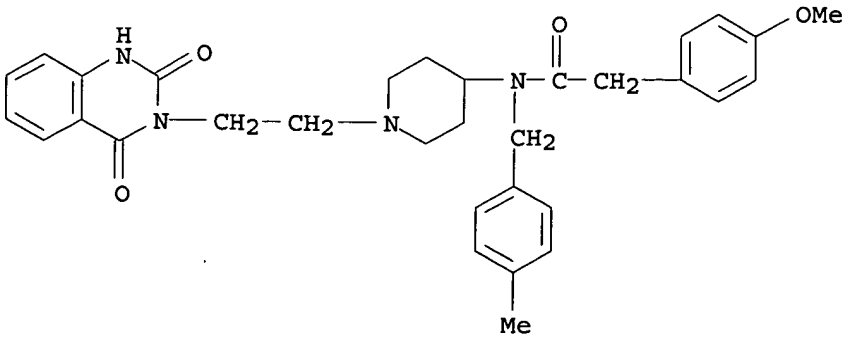
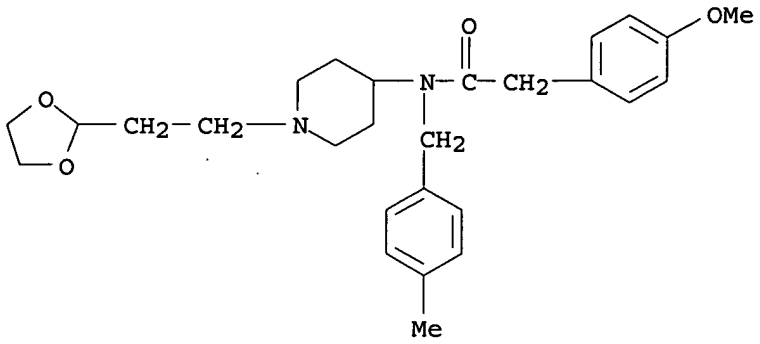


RN 359881-43-9 CAPLUS
CN Benzeneacetamide, N-[1-[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

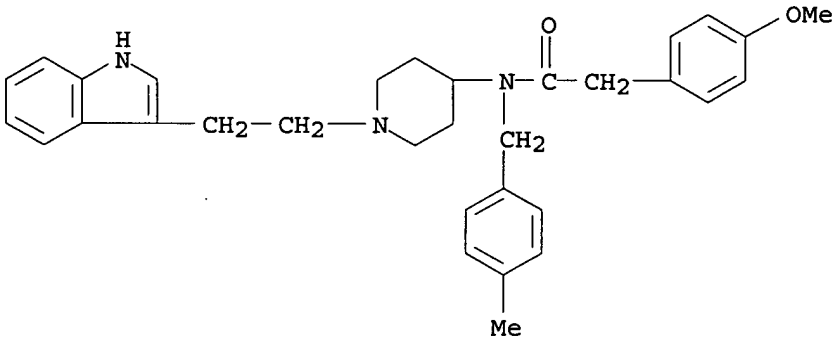


RN 359881-45-1 CAPLUS
CN Benzeneacetamide, N-[1-[2-(1,3-dioxolan-2-yl)ethyl]-4-piperidinyl]-4-

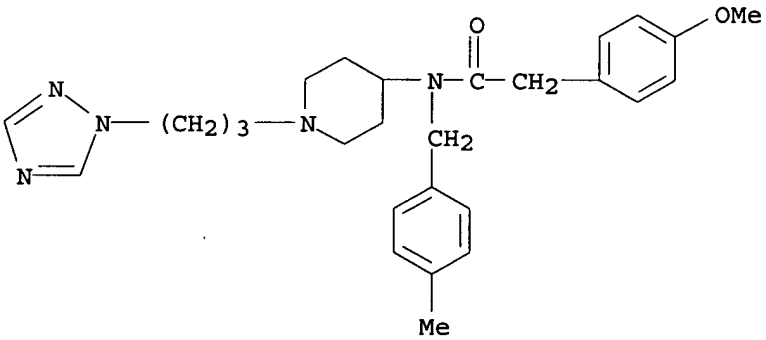
methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



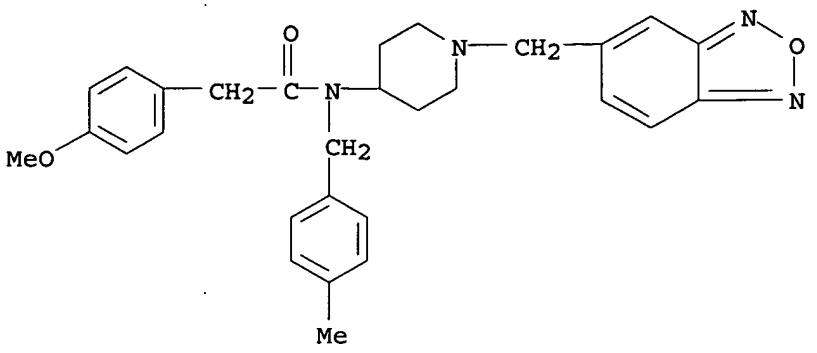
RN 359881-47-3 CAPLUS
CN Benzeneacetamide, N-[1-[2-(1H-indol-3-yl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



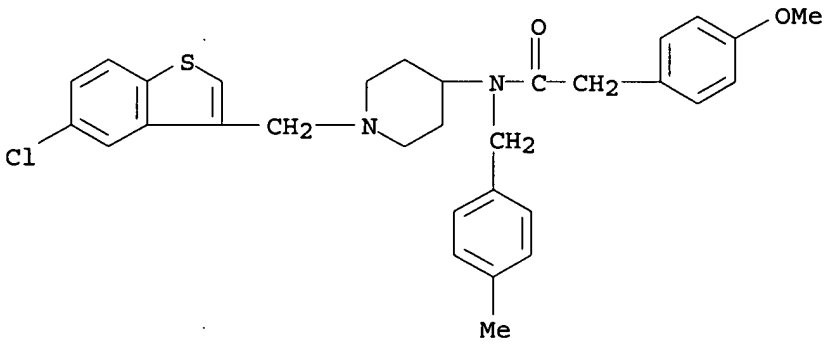
RN 359881-49-5 CAPLUS
CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[3-(1H-1,2,4-triazol-1-yl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



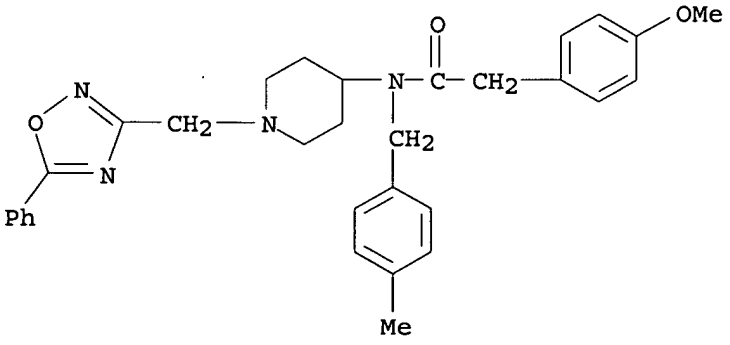
RN 359881-51-9 CAPLUS
CN Benzeneacetamide, N-[1-(2,1,3-benzoxadiazol-5-ylmethyl)-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



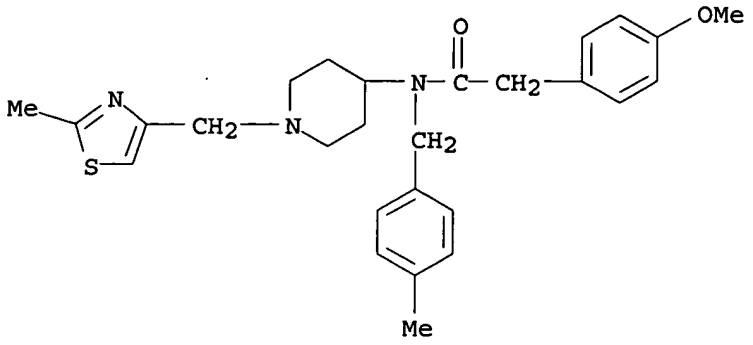
RN 359881-53-1 CAPLUS
CN Benzeneacetamide, N-[1-[(5-chlorobenzo[b]thien-3-yl)methyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 359881-55-3 CAPLUS
CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



IT **359879-04-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-piperidinyl-N-alkyl-aryl-acetamides and N,N,N'-substituted ureas as 5-HT2A inverse agonists)
RN 359879-04-2 CAPLUS
CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[(2-methyl-4-thiazolyl)methyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:453019 CAPLUS
DOCUMENT NUMBER: 135:46106
TITLE: 4-Aminopiperidine derivatives, processes for their preparation, pharmaceutical compositions, and their use as medicines, specifically as somatostatin receptor ligands
INVENTOR(S): Thurieau, Christophe; Gonzalez, Jerome; Moinet, Christophe
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001044191 | A1 | 20010621 | WO 2000-FR3497 | 20001213 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| FR 2802206 | A1 | 20010615 | FR 1999-15724 | 19991214 |
| FR 2802206 | B1 | 20050422 | | |
| CA 2394086 | AA | 20010621 | CA 2000-2394086 | 20001213 |
| EP 1286966 | A1 | 20030305 | EP 2000-993405 | 20001213 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003516965 | T2 | 20030520 | JP 2001-544681 | 20001213 |
| NZ 520071 | A | 20030630 | NZ 2000-520071 | 20001213 |
| AU 779341 | B2 | 20050120 | AU 2001-28560 | 20001213 |
| RU 2266282 | C2 | 20051220 | RU 2002-118705 | 20001213 |

| | | | | | |
|---|---|---|---|---|---|
| US 2004006089 | A1 | 20040108 | US 2002-130924 | | 20020523 |
| US 2005239796 | A1 | 20051027 | US 2005-122293 | | 20050504 |
| PRIORITY APPLN. INFO.: | | | FR 1999-15724 | A | 19991214 |
| | | | WO 2000-FR3497 | W | 20001213 |
| | | | US 2002-130924 | A3 | 20020523 |

OTHER SOURCE(S): MARPAT 135:46106


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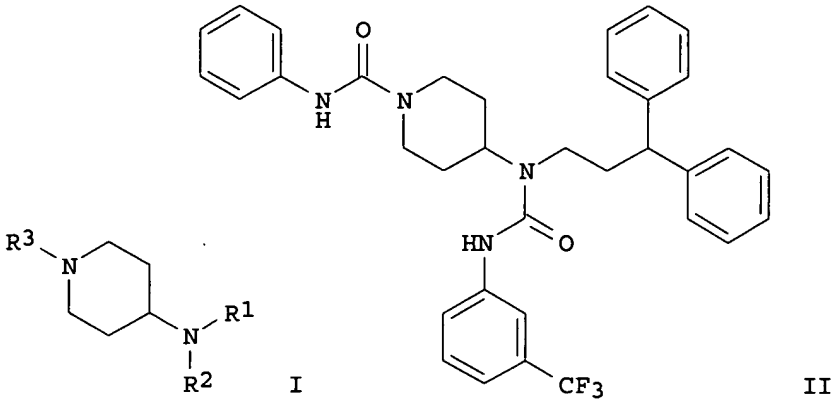


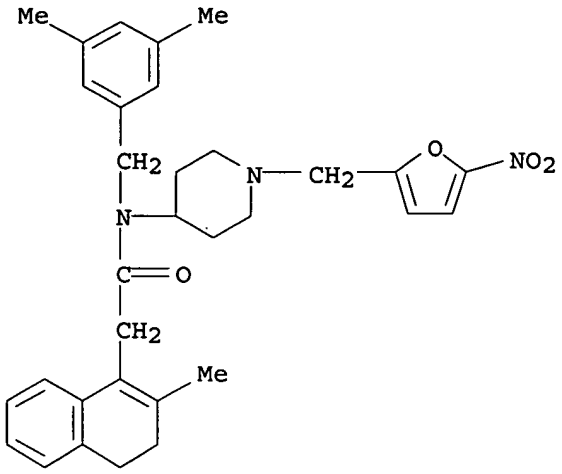
I II


AB The invention concerns novel 4-aminopiperidine derivs. I [R1 = alkyl, alkenyl, alkynyl, (CH2)mYZ1, (CH2)mZ2, 1-benzylpiperidin-4-yl, 2-naphthylcarbamoyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z1 = alkyl or (un)substituted aryl; Z2 = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un)substituted heterocycloalkyl, aryl, heteroaryl, etc.; R2 = C(Y)NHX1, C(O)X2, SO2X3; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, C(Y)NHX1, (CH2)nC(O)X2, SO2X3, etc.; X1 = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X2 = wide variety of groups; X3 = alkyl, alkenyl, phenylalkenyl, CF3, (un)substituted (hetero)aryl or -aralkyl; Y = O, S; n = 0-4; m = 1-6]. Also disclosed are methods for their preparation by parallel synthesis processes in liquid and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropanceatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive amination with 3,3-diphenylpropylamine and NaBH(OAc)3, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF3CO2H, and reaction with Ph isocyanate, to give title compound II. Some compds. I had sub-micromolar Ki for at least one of five tested somatostatin receptor subtypes (no data).


IT **344790-57-4P 344790-60-9P 344790-64-3P**
**344790-68-7P 344790-72-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminopiperidine derivs. as somatostatin receptor ligands)

RN 344790-57-4 CAPLUS

CN 1-Naphthaleneacetamide, 3,4-dihydro-2-methyl-N-(1-naphthalenylmethyl)-N-[1-[(5-nitro-2-furanyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:725458 CAPLUS
DOCUMENT NUMBER: 133:296372
TITLE: Preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine modulators of chemokine receptor activity
INVENTOR(S): Berk, Scott; Caldwell, Charles; Chapman, Kevin; Hale, Jeffrey; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Willoughby, Christopher
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000059497 | A1 | 20001012 | WO 2000-US9059 | 20000405 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6399619 | B1 | 20020604 | US 2000-542898 | 20000404 |
| PRIORITY APPLN. INFO.: | | | US 1999-128174P P | 19990406 |
| OTHER SOURCE(S): | MARPAT 133:296372 | | | |

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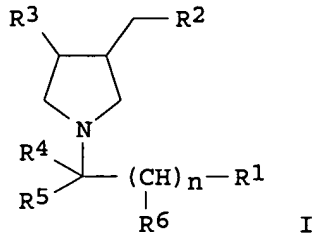
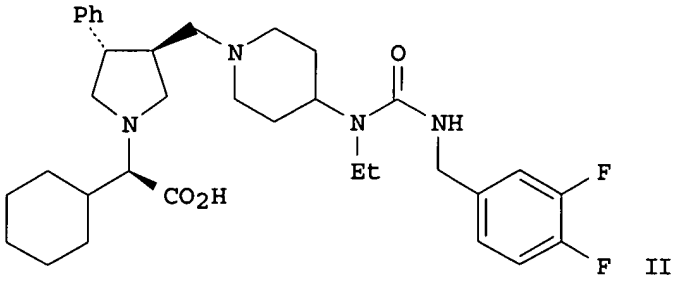


I



II

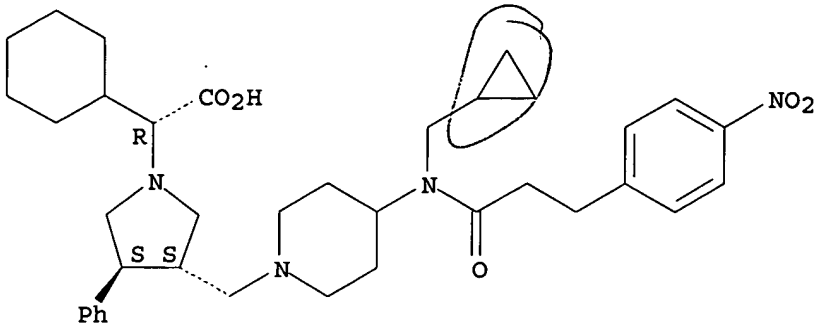
AB The title compds. (I) [wherein R1 = CO2H, NO2, tetrazolyl, hydroxyisoxazole, SO2NH(alkyl)R9, SO2NHCO(alkyl)R9, or PO3H2; R9 = H, (cyclo)alkyl, benzyl, or (un)substituted phenyl; R2 = (un)substituted piperidinyl, tetrahydropyridinyl, or piperazinyl; R3 = (un)substituted Ph or heterocyclyl; R4 = H or (un)substituted alkyl, (alkyl)cycloalkyl, alkenyl, alkynyl, Ph, alkylphenyl, naphthyl, biphenyl, heterocyclyl, cyclohexenyl, etc.; R5 and R6 = independently H or (un)substituted alkyl; or R4 and R5 may be joined together to form an (un)substituted C3-8 cycloalkyl ring; n = 1-3] were prepared as modulators of chemokine receptors, especially the chemokine receptors CCR-5 and/or CCR-3. For example, EtNH2 and 1-tert-butoxycarbonyl-4-piperidone were reacted in the presence of DIEA and reduced with NaBH(OAc)3 to give 4-(N-ethylamino)-1-tert-butoxycarbonylpiperidine (97%). Addition of carbonyldiimidazole and 3,4-difluorobenzylamine to the piperidine followed by deprotection with TFA afforded 4-(N-(N-(3,4-difluorobenzyl)carbamoyl)-N-ethylamino)piperidine•TFA (45%). Coupling the deprotected piperidine with the aldehyde 2-(R)-(3-(R)-formyl-4-(S)-phenylpyrrolidin-1-yl)-2-(cyclohexyl)acetic acid 4-methoxybenzyl ester (preparation given) in the presence of DIEA followed by reduction with NaBH(OAc)3 gave II. I showed binding activity to the CCR-5 or the CCR-3 receptor, generally with IC50 values of < 1 μM. The present invention is directed to compds. which inhibit the entry of human immunodeficiency virus (HIV) into target cells and are of value in the prevention and treatment of HIV infection and the resulting AIDS syndrome (no data). The invention is further directed to compds. which are useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders, including asthma, allergic rhinitis, dermatitis, conjunctivitis, rheumatoid arthritis, and atherosclerosis (no data).

IT **301232-14-4P 301232-15-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine chemokine receptor modulators by reaction of 3-phenyl-4-formylpyrrolidines with heterocycles)

RN 301232-14-4 CAPLUS

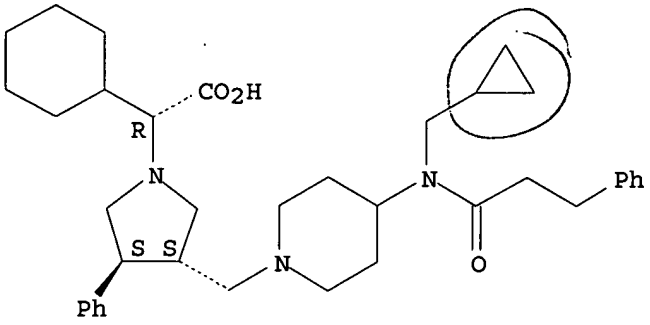
CN 1-Pyrrolidineacetic acid, α-cyclohexyl-3-[[4-[(cyclopropylmethyl)[3-(4-nitrophenyl)-1-oxopropyl]amino]-1-piperidinyl]methyl]-4-phenyl-, (αR,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 301232-15-5 CAPLUS
CN 1-Pyrrolidineacetic acid, α-cyclohexyl-3-[[4-[(cyclopropylmethyl)(1-oxo-3-phenylpropyl)amino]-1-piperidinyl]methyl]-4-phenyl-, (αR,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:688091 CAPLUS
DOCUMENT NUMBER: 133:261535
TITLE: Methods for treating neurodegenerative disorders using aspartyl protease inhibitors
INVENTOR(S): Ellman, Jonathan A.; Lynch, Gary; Kuntz, Irwin D.; Bi, Xiaoning; Lee, Christina E.; Skillman, A. Geoffrey; Haque, Tasir
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000056335 | A1 | 20000928 | WO 2000-US7804 | 20000324 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,

MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE

| | | | | | |
|---|---|---|---|---|---|
| CA 2367112 | AA | 20000928 | CA 2000-2367112 | | 20000324 |
| EP 1178800 | A1 | 20020213 | EP 2000-916643 | | 20000324 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| JP 2002539260 | T2 | 20021119 | JP 2000-606240 | | 20000324 |
| US 2004157896 | A1 | 20040812 | US 2004-774262 | | 20040205 |
| PRIORITY APPLN. INFO.: | | | US 1999-125958P | P | 19990324 |
| | | | US 1997-36903P | P | 19970204 |
| | | | US 1998-18226 | A2 | 19980203 |
| | | | US 2000-534706 | B1 | 20000324 |
| | | | WO 2000-US7804 | W | 20000324 |

OTHER SOURCE(S): MARPAT 133:261535

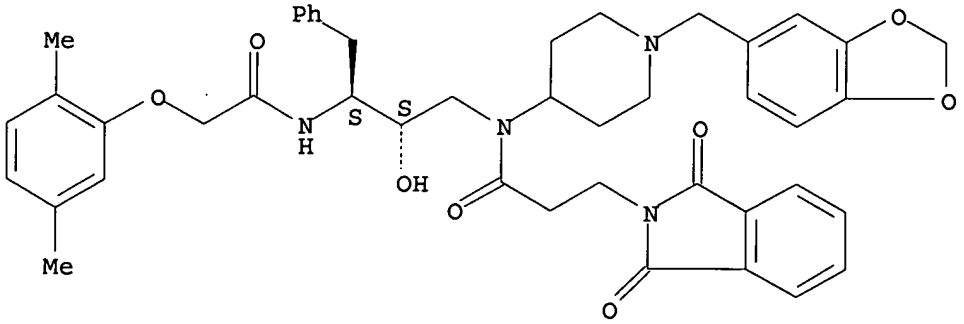
AB Non-peptide aspartyl protease inhibitors, methods for modulating the processing of an amyloid precursor protein, methods for modulating the processing of a τ-protein, and methods for treating neurodegenerative diseases are provided.

IT **296780-77-3 296780-78-4 296780-79-5**
**296780-80-8 296780-84-2 296780-85-3**
**296780-87-5 296780-88-6 296780-90-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aspartyl protease inhibitors for modulating processing of amyloid precursor protein and of τ protein and for treating neurodegenerative disorders)

RN 296780-77-3 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[(2,5-dimethylphenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

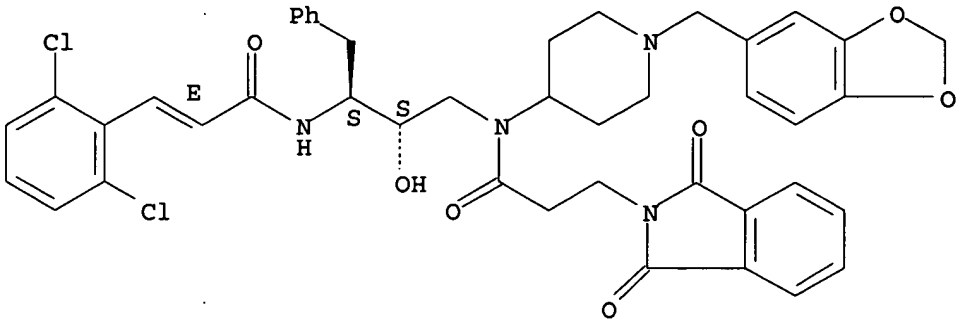
Absolute stereochemistry.




RN 296780-78-4 CAPLUS

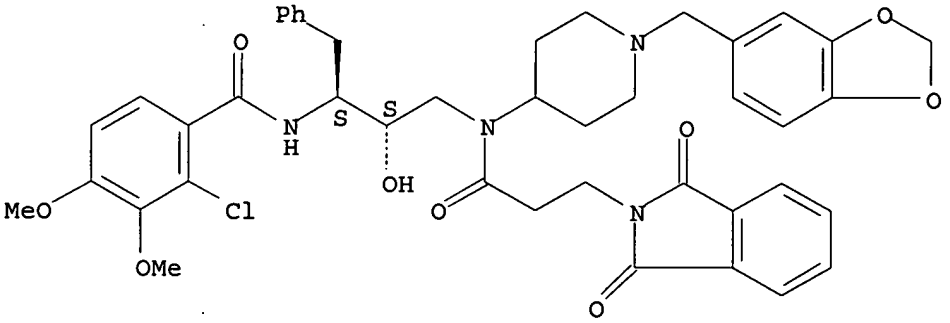
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[(2E)-3-(2,6-dichlorophenyl)-1-oxo-2-propenyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



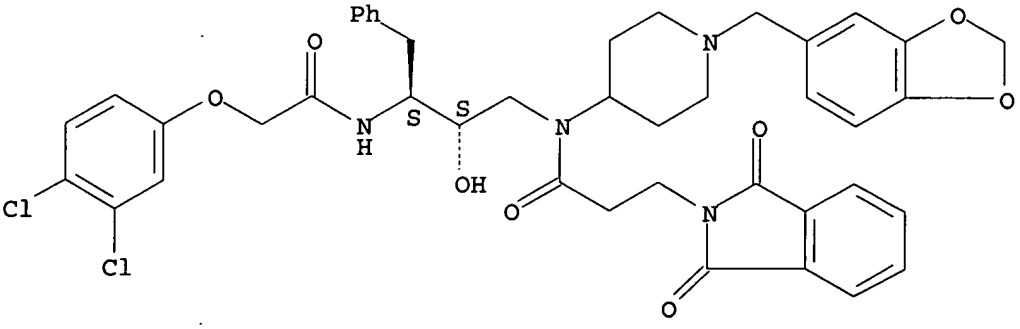
RN 296780-79-5 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[(2-chloro-3,4-dimethoxybenzoyl)amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



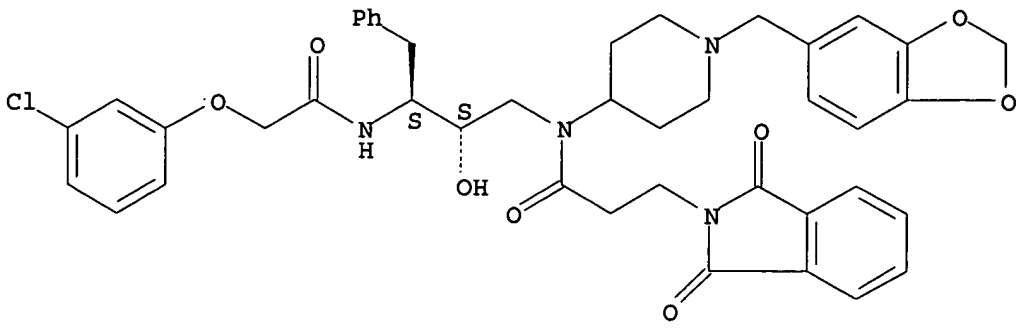
RN 296780-80-8 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[(3,4-dichlorophenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



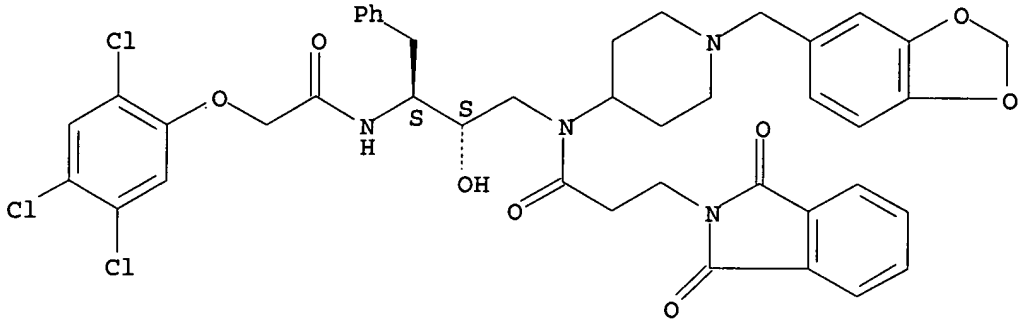
RN 296780-84-2 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[(3-chlorophenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



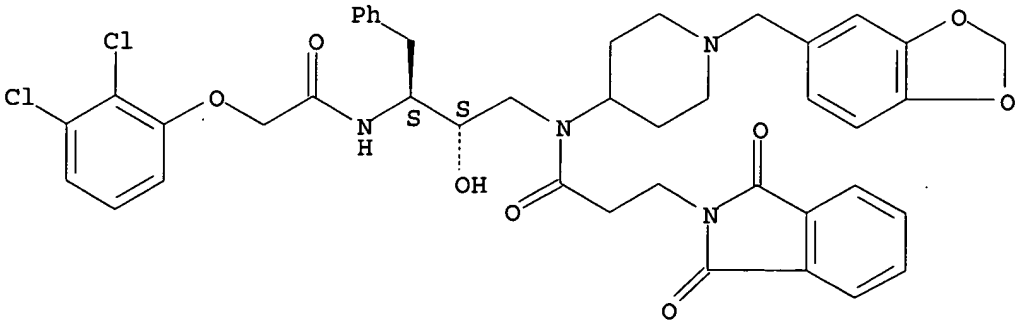
RN 296780-85-3 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-1,3-dihydro-N-[(2S,3S)-2-hydroxy-4-phenyl-3-[[(2,4,5-trichlorophenoxy)acetyl]amino]butyl]-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



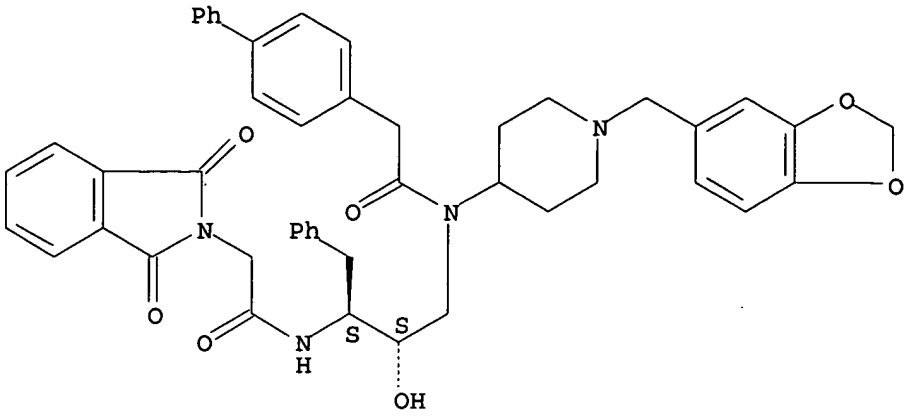
RN 296780-87-5 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[(2,3-dichlorophenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



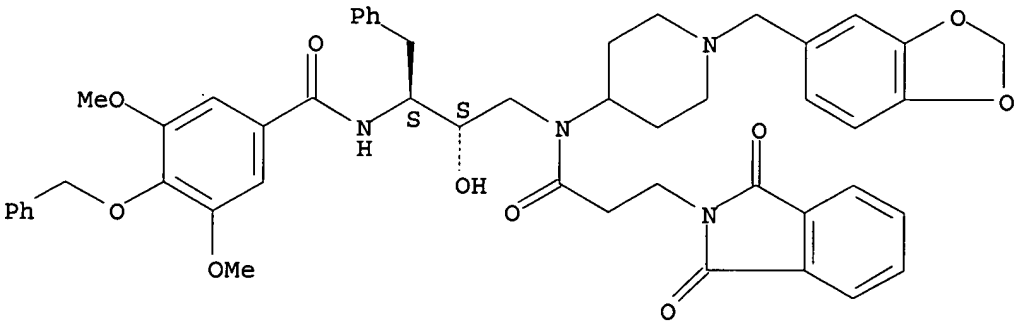
RN 296780-88-6 CAPLUS
CN 2H-Isoindole-2-acetamide, N-[(1S,2S)-3-[[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]([1,1'-biphenyl]-4-ylacetyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 296780-90-0 CAPLUS
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[3,5-dimethoxy-4-(phenylmethoxy)benzoyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

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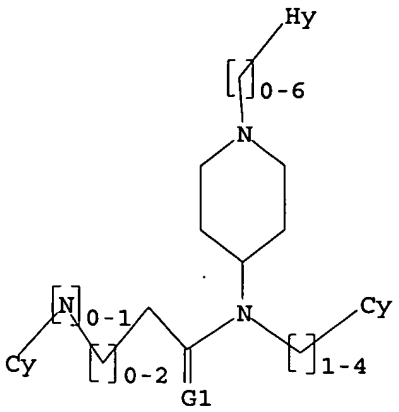
FILE 'REGISTRY' ENTERED AT 08:45:49 ON 20 JUN 2006
L1 STRUCTURE UPLOADED
L2 14 S L1
L3 267 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:47:04 ON 20 JUN 2006
L4 9 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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